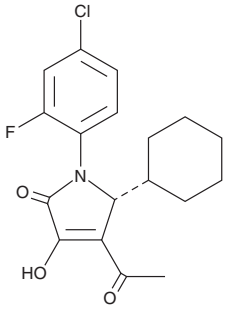
PRODUCT INFORMATION

CCR2-RA-[R]

Item No. 28423

CAS Registry No.:	512177-83-2
Formal Name:	(5R)-4-acetyl-1-(4-chloro-2-fluorophenyl)-5-cyclohexyl-1,5-dihydro-3-hydroxy-2H-pyrrol-2-one
MF:	$C_{18}H_{19}ClFNO_3$
FW:	351.8
Purity:	≥95%
UV/Vis.:	λ_{max}: 273 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CCR2-RA-[R] is supplied as a crystalline solid. A stock solution may be made by dissolving the CCR2-RA-[R] in the solvent of choice, which should be purged with an inert gas. CCR2-RA-[R] is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CCR2-RA-[R] in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CCR2-RA-[R] is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CCR2-RA-[R] should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CCR2-RA-[R] has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CCR2-RA-[R] is an allosteric antagonist of chemokine (C-C motif) receptor 2 (CCR2; IC_{50} = 103 nM).[1-3] It inhibits [^{35}S]GTPγS binding and β-arrestin recruitment induced by chemokine (C-C motif) ligand 2 (CCL2) in U2OS membranes and cells, respectively, expressing CCR2 (IC_{50}s = 24 and 25 nM, respectively).[1]

References

1. Zweemer, A.J.M., Nederpelt, I., Vrieling, H., *et al.* Multiple binding sites for small-molecule antagonists at the CC chemokine receptor 2. *Mol. Pharm.* **84(4)**, 551-561 (2013).
2. Zweemer, A.J.M., Bunnik, J., Veenhuizen, M., *et al.* Discovery and mapping of an intracellular antagonist binding site at the chemokine receptor CCR2. *Mol. Pharmacol.* **86(4)**, 358-368 (2014).
3. Zheng, Y., Qin, L., Zacarias, N.V.O., *et al.* Structure of CC chemokine receptor 2 with orthosteric and allosteric antagonists. *Nature* **540(7633)**, 458-461 (2016).

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